=> d l1 L1 HAS NO ANSWERS 16 17 15 Су Hy~ C~ C~ N~ C 13 12 11 10

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM IS UNS AT 17 GGCAT DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 1

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

=> s l1 ful FULL SEARCH INITIATED 17:28:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 5823 TO ITERATE

5823 ITERATIONS 164 ANSWERS 100.0% PROCESSED SEARCH TIME: 00.00.01

164 SEA SSS FUL L1

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 148.95 149.16 FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:28:10 ON 28 JAN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 28 Jan 2003 VOL 138 ISS 5 FILE LAST UPDATED: 27 Jan 2003 (20030127/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
L4
             6 L3
=> d bib 1-6
     ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS
L4
     2002:964343 CAPLUS
AN
DN
     138:29109
     Preparation of crystal forms of antithrombotic piperazine derivative
ΤI
     Engel, Gary Lowell; Diseroad, Benjamin Alan
IN
     Eli Lilly and Company, USA
PA
     PCT Int. Appl., 19 pp.
so
     CODEN: PIXXD2
     Patent
DT
     English
LΑ
FAN.CNT 13
                       KIND
                             DATE
                                             APPLICATION NO.
     PATENT NO.
                             _____
                       ----
                                            WO 2002-US16569 20020606
     WO 2002100847
                      A2
                             20021219
ΡI
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                             20011220
                                            WO 2001-GB2553
                                                             20010612
     WO 2001096323
                        Α1
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
                                                                       CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI WO 2001-GB2553
                        W
                             20010612
     US 2001-339295P
                        Ρ
                             20011212
     WO 2000-GB2302
                        W
                             20000613
                             20001213
     GB 2000-30304
                        Α
     ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS
L4
     2001:923784 CAPLUS
AN
DN
     136:54020
     Preparation of amino acid derivatives as serine protease inhibitors
TI
     Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen
IN
     Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William
     Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott
     Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert;
     Mayer, Michael John
PA
     Eli Lilly and Company, USA
SO
     PCT Int. Appl., 191 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 13
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO.
                                                               DATE
```

=> s 13

```
WO 2001-GB2553
                                                                  20010612
                         A1
                               20011220
     WO 2001096323
PΙ
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
          W:
              CO; CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                               WO 2000-GB2302
                                                                 20000613
                               20001221
     WO 2000076971
                         A2
                               20010802
     WO 2000076971
                         A3
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
              CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
              ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
              LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
              SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
              ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                               20021219
                                               WO 2002-US16569 20020606
     WO 2002100847
                         A2
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                                 TR.
                               20000613
PRAI WO 2000-GB2302
                         W
                         Α
                               20001213
     GB 2000-30304
                         Α
                               19990614
     GB 1999-13823
                         Ρ
                               19990702
     US 1999-142064P
                         Α
                               19990809
     GB 1999-18741
     GB 1999-29553
                         Α
                               19991214
     WO 2001-GB2553
                         W
                               20010612
     US 2001-339295P
                         Р
                               20011212
     MARPAT 136:54020
OS
               THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS
L4
     2001:923766 CAPLUS
AN
     136:54019
DN
     Preparation of amino acid derivatives as serine protease inhibitors
TI
     Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen
IN
     Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William
     Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott
     Martin; Engel, David Birenbaum; Watson, Brian Morgan
PA
     Eli Lilly and Company, USA
SO
     PCT Int. Appl., 120 pp.
     CODEN: PIXXD2
      Patent
DT
     English
LA
FAN.CNT 13
                        KIND
                               DATE
                                                APPLICATION NO.
     PATENT NO.
                               _____
                                                ------
                                                WO 2001-GB2572
                                                                   20010612
ΡI
     WO 2001096304
                         A1
                               20011220
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
```

```
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          WO 2000-GB2302
                                                            20000613
    WO 2000076971
                      A2
                            20001221
                       A3
                            20010802
    WO 2000076971
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
        W:
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                            20020204
                            20021017
                                           US 2002-30186
    US 2002151724
                       A1
                            20000613
                       W
PRAI WO 2000-GB2302
                            20001213
    GB 2000-30306
                       Α
                            19990614
    GB 1999-13823
                       Α
    US 1999-142064P
                       Р
                            19990702
    GB 1999-18741
                       Α
                            19990809
                            19991214
    GB 1999-29553
                       Α
                            20010612
                       W
    WO 2001-GB2572
    MARPAT 136:54019
OS
              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 4
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS
L4
                 CAPLUS
ΑN
     2001:923758
     136:37946
DN
     Preparation of amino acid derivatives as serine protease inhibitors
ΤI
     Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen
IN
     Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William
     Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott
     Martin; Engel, David Birenbaum; Watson, Brian Morgan
     Eli Lilly and Company, USA
PA
     PCT Int. Appl., 142 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 13
                                           APPLICATION NO. DATE
     PATENT NO.
                      KIND
                          DATE
                                           -----
                      ----
                            _____
                                                            20010612
                                           WO 2001-GB2541
                            20011220
     WO 2001096296
                      A1
PΙ
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           WO 2000-GB2302
                                                           20000613
     WO 2000076971
                       A2
                            20001221
     WO 2000076971
                       A3
                            20010802
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
```

```
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI WO 2000-GB2302
                              20000613
                        W
                              20001213
                        Α
     GB 2000-30303
                              19990614
     GB 1999-13823
                        Α
                        P
                              19990702
     US 1999-142064P
                        Α
                              19990809
     GB 1999-18741
     GB 1999-29553
                        Α
                              19991214
os
     MARPAT 136:37946
               THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 3
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS
L4
     2000:900614 CAPLUS
AN
     134:56958
DN
     Preparation of amino acid derivatives as serine protease inhibitors
ΤI
     Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher
IN
     William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas
     Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James;
     Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
     Eli Lilly and Company, USA; Protherics Molecular Design Limited
PA
SO
     PCT Int. Appl., 261 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 13
                                              APPLICATION NO.
                       KIND
                             DATE
                                                                DATE
     PATENT NO.
                              -----
                                              _____
     _____
                                              WO 2000-GB2302
                                                                20000613
     WO 2000076971
                        A2
                              20001221
ΡI
     WO 2000076971
                              20010802
                        A3
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
              CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
              LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
              SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
              ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
              CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                               20000613
                                             EP 2000-938916
                        A2
                             20020403
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                        T2
                              20030121
                                              JP 2001-503831
                                                                20000613
     JP 2003502314
                                              WO 2001-GB2541
                                                                20010612
     WO 2001096296
                        A1
                              20011220
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
              UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                        A1
                             20011220
                                             WO 2001-GB2551 20010612
     WO 2001096303
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
              UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
```

```
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             WO 2001-GB2553
                                                               20010612
     WO 2001096323
                        A1
                             20011220
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
         W:
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
              UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     WO 2001096304
                        A1
                              20011220
                                             WO 2001-GB2572
                                                              20010612
         W:
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
              UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 2002-30186
     US 2002151724
                        A1
                              20021017
                                                                20020204
PRAI GB 1999-13823
                              19990614
                        Α
     US 1999-142064P
                        P
                              19990702
     GB 1999-18741
                              19990809
                        Α
     GB 1999-29553
                        Α
                              19991214
     WO 2000-GB2302
                        W
                              20000613
     GB 2000-30303
                        Α
                              20001213
     GB 2000-30304
                        Α
                              20001213
     GB 2000-30305
                        Α
                              20001213
     GB 2000-30306
                        Α
                              20001213
     WO 2001-GB2572
                        W
                              20010612
OS
     MARPAT 134:56958
L4
     ANSWER 6 OF 6 CAPLUS
                             COPYRIGHT 2003 ACS
AN
     2000:900613 CAPLUS
DN
     134:56957
ΤI
     Preparation of amino acid derivatives as serine protease inhibitors
     Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher
IN
     William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas
     Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James;
     Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James;
     Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PA
     Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO
     PCT Int. Appl., 350 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 13
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                                                               DATE
                       ----
                                              -----
     WO 2000076970
                             20001221
                                             WO 2000-GB2296
ΡI
                        A2
                                                                20000613
     WO 2000076970
                        A3
                             20010719
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
```

EP 1192135 A2 20020403 EP 2000-938912 20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

PRAI GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29552 A 19991214
GB 1999-29553 A 19991214
WO 2000-GB2296 W 20000613
OS MARPAT 134:56957

## (FILE 'HOME' ENTERED AT 17:12:49 ON 28 JAN 2003)

	FILE 'CAPLUS' ENTERED AT 17:12:57 ON 28 JAN 2003
L1	1 S (SERINE(L)PROTEASE)(L)(INDOL?(L)PIPERIDIN?)
L2	0 S RDG(L)(INDOL?(L)PIPERIDIN?)
L3	39 S (?COAGULA? OR ?THROMBO? OR AGGREGA?)(L)(INDOL?(L)PIPERIDIN?)
L4	29 S L3 AND PY<2000
L5	14 S L4 AND P/DT
L6	15 S L4 NOT L5

AN 1986:5787 CAPLUS

104:5787 DN

3-Indolecarboxamide compounds ΤI

Tahara, Tetsuya; Ikebe, Tsuguo; Maruyama, Yutaka; Yaoka, Osamu; Miura, IN

Yoshitomi Pharmaceutical Industries, Ltd., Japan PΑ

Eur. Pat. Appl., 24 pp. SO CODEN: EPXXDW

DTPatent

English LΑ

FAN.	CNT	1													
	PA	<b>TENT</b>	NO.		KIND	DATE			API	PLICA	rion	NO.	DATE		
											<b>-</b> -				
ΡI	ΕP	1505	05		A2	1985	0807		EP	1984	-1163	72	19841	L227	<
	EP 150505			<b>A</b> 3	1985	0821									
	ΕP	EP 150505			B1	1987	0401								
		R:	AT,	BE,	CH, DE	, FR,	GB,	IT,	LI, I	NL, SI	Ξ				
	JΡ	6014	2981		A2	1985	0729		JP	1983	-2511	49	19831	L228	<
	JР	6400	0396		B4	1989	0106								
	US	4581	355		Α	1986	0408		US	1984	-6807	27	19843	L212	<
	CA	1230	600		A1	1987	1222		CA	1984	-4701	79	19843	1214	<
	ES	5391	23		A1	1986	0316		ES	1984	-5391	23	19843	L227	<
	ΑT	2627	3		E	1987	0415		AT	1984	-1163	72	19843	1227	<
PRAI	JΡ	1983	-251	149		1983	1228								
	ΕP	1984	-1163	372		1984	1227								
OS	CAS	SREAC	T 104	4:578	37										
GT															

$$R^{2}$$
 $R^{3}$ 
 $R^{1}$ 
 $R^{70}$ 
 $R^{7$ 

N-Heterocyclylindolecarboxamides I (R1,R4,R6 = H, alkyl; R2,R3 = H, alkyl, AΒ alkoxy, alkanoyloxy, OH, halo; R5 = H, halo, Z = O, S, bond; n = 1,2; m, k = 1-3) were prepd. Thus, 5-acetoxy-2-methylindole-3-carboxylic acid was converted to its acid chloride and treated with 4-amino-1phenethylpiperidine to give carboxamide II (R7 = Ac). This was sapond. to give II (R7 = OH) (III). III inhibits 5-lipoxygenase with an IC50 of 0.44 .mu.M and I are more effective cardiotonics than ouabain.

```
1998:534886 CAPLUS
ΑN
DN
     129:148913
     Preparation of 5-[(4-piperidinyl)methoxy]-2-indolecarbonyl-2(S)-
TI
     phenylsulfonylamino-.beta.-alanine as a fibrinogen receptor antagonist
     Hutchinson, John H.; Halczenko, Wasyl
IN
PA
     Merck and Co., Inc., USA
     U.S., 10 pp.
SO
     CODEN: USXXAM
DT
     Patent
     English
T.A
FAN.CNT 1
                     KIND DATE
                                          APPLICATION NO.
                                                          DATE
     PATENT NO.
                     ----
                           -----
                                          _____
PΙ
    US 5789421
                      Α
                            19980804
                                          US 1996-735844 19961023 <--
PRAI US 1996-735844
                            19961023
GI
```

H N 
$$O$$
 HN  $O$  HN  $O$  HN  $O$  HN  $O$  Ph  $O$  I

AB The title compd. 5-[(4-piperidinyl)methoxy]-2indolecarbonyl-2(S)-phenylsulfonylamino-.beta.-alanine (I) was prepd. and formulated. The compd. is useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, or treating or preventing thrombus or embolus formation. Thus, 5-hydroxyindole-2-carboxylic acid was converted to the Me ester, which underwent Mitsunobu etherification with N-Boc-4piperidinylmethanol (DEAD, PPh3, in THF), followed by partial hydrolysis using LiOH.H2O, to give 5-[(4-N-Boc-piperidinyl ) methoxy] -2-indolecarboxylic acid. This was condensed with Et 2(S)-[(phenylsulfonyl)amino]-3-aminopropionate.HCl in the presence of HOBT, NMM, and EDC in DMF, followed by deesterification and removal of the BOC group, to give I. In a platelet aggregation test in monkeys, I was nearly twice as potent as the analog with a piperidinylethoxy group instead of a piperidinylmethoxy group.

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
     ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS
ΑN
     1997:389217 CAPLUS
DN
     127:5015
TΤ
     Preparation of 5-[(4-piperidinyl)methoxy]-2-indolecarbonyl-2(S)-
     phenylsulfonylamino-.beta.-alanine as fibrinogen receptor antagonist
IN-
     Hutchinson, John H.; Halczenko, Wasyl
     Merck and Co., Inc., USA; Hutchinson, John H.; Halczenko, Wasyl
PA
SO
     PCT Int. Appl., 33 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
```

PATENT NO. KIND DATE APPLICATION NO. DATE

```
ΡI
     WO 9715568
                            19970501
                                           WO 1996-US16882 19961022 <--
                       A1
         W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,
             IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,
             NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
     CA 2233861
                            19970501
                                            CA 1996-2233861
                                                             19961022 <--
                       AΑ
     AU 9674640
                                            AU 1996-74640
                       A1
                            19970515
                                                             19961022 <--
     AU 702025
                       B2
                            19990211
     EP 863893
                       Al
                            19980916
                                           EP 1996-936810
                                                             19961022 <--
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
     JP 11513980
                       T2
                            19991130
                                           JP 1996-516710
                                                             19961022 <--
     ZA 9608939
                       Α
                            19970429
                                           ZA 1996-8939
                                                             19961024 <--
PRAI US 1995-5890P
                       Р
                            19951026
     GB 1996-3245
                       Α
                            19960216
     WO 1996-US16882
                       W
                            19961022
GI
```

H N 
$$O$$
 NH  $CO_2H$   $O$  HN  $O$  HN  $O$  SO2  $O$  Ph  $O$ 

5-[(4-Piperidinyl)methoxy]-2-indolecarbonyl

AB

-2(S)-phenylsulfonylamino-.beta.-alanine (I), useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating thrombus formation or embolus formation, or preventing thrombus or embolus formation in a mammal, was prepd. and formulated. Thus, esterification of 5-hydroxyindole-2-carboxylic acid followed by reaction of the resulting Me ester with N-Boc-4-piperidinylmethanol in the presence of DEAD and PPh3 in THF, hydrolysis of the intermediate with LiOH.H2O, reaction of 5-[(4-N-Boc-piperidinyl)methoxy]-2-indolecarboxylic

acid with Et 2(S)-phenylsulfonylamino-3-aminopropionate.HCl in the presence of HOBT, NMM and EDC in DMF, deesterification and removal of the BOC group afforded I which is effective at 0.005-10 mg/kg/day.